

10/583013

=> s 113  
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SAMPLE SCREEN SEARCH COMPLETED - 255 TO ITERATE

100.0% PROCESSED 255 ITERATIONS 4 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 4142 TO 6058  
PROJECTED ANSWERS: 4 TO 200

L14 4 SEA SSS SAM L13

=> s 113 sss full  
FULL SEARCH INITIATED 13:19:20 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 5221 TO ITERATE

100.0% PROCESSED 5221 ITERATIONS 44 ANSWERS  
SEARCH TIME: 00.00.01

L15 44 SEA SSS FUL L13

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COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 178.82 575.92  
  
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL  
ENTRY SESSION  
CA SUBSCRIBER PRICE 0.00 -4.00

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FILE COVERS 1907 - 7 Jan 2008 VOL 148 ISS 2  
FILE LAST UPDATED: 6 Jan 2008 (20080106/ED)

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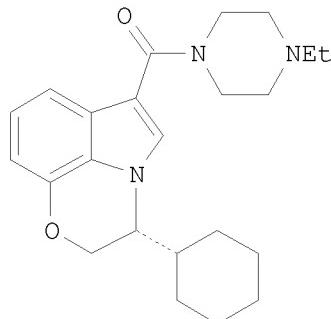
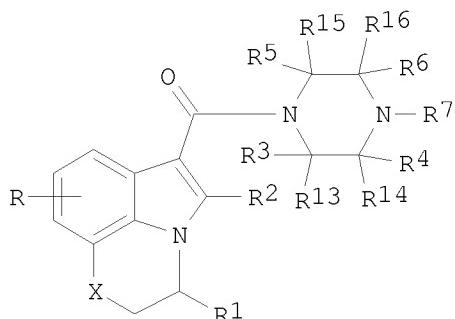
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L16 1 L15

=&gt; d l16 bib abs hitstr

L16 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2005:570814 CAPLUS  
 DN 143:97397  
 TI Preparation of tricyclic 1-[(3-indol-3-yl)carbonyl]piperazine derivatives as cannabinoid CB<sub>1</sub> receptor agonists  
 IN Adam-Worrall, Julia  
 PA Akzo Nobel N. V., Neth.  
 SO PCT Int. Appl., 34 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005058327	A1	20050630	WO 2004-EP53421	20041213
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	AU 2004298782	A1	20050630	AU 2004-298782	20041213
	CA 2549147	A1	20050630	CA 2004-2549147	20041213
	EP 1696930	A1	20060906	EP 2004-804784	20041213
	EP 1696930	B1	20070411		
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	CN 1893953	A	20070110	CN 2004-80037747	20041213
	BR 2004017626	A	20070327	BR 2004-17626	20041213
	AT 359078	T	20070515	AT 2004-804784	20041213
	JP 2007526242	T	20070913	JP 2006-544422	20041213
	ES 2284076	T3	20071101	ES 2004-4804784	20041213
	US 2007088025	A1	20070419	US 2006-583013	20060615
	MX 2006PA06928	A	20060904	MX 2006-PA6928	20060616
PRAI	EP 2003-104768	A	20031217		
	US 2003-530528P	P	20031217		
	WO 2004-EP53421	W	20041213		
OS	CASREACT 143:97397;	MARPAT	143:97397		
GI					



# III

AB Tricyclic 1-[(indol-3-yl)carbonyl]piperazine derivs. [X = CH<sub>2</sub>, O, S; R = 1-3 substituents H, C1-4 alkyl, C1-4 alkyloxy, halo; R1 = C5-8 cycloalkyl; R2 = H, C1-4 alkyl; R3-R6, R13-R16 = H, (un)substituted C1-4 alkyl; , with (C1-4)alkyloxy, OH or halo; R6R7 may form 4-7 membered saturated heterocyclic ring, optionally containing further heteroatom O and S; R7 = H, (un)substituted C1-4 alkyl, C3-5 cycloalkyl] or pharmaceutically acceptable salt thereof are described as cannabinoid CB1 receptor agonists. The invention also relates to pharmaceutical compns. comprising I and to their use in the treatment of pain, such as peri-operative pain, chronic pain neuropathic pain, cancer pain, and pain and spasticity associated with multiple sclerosis. Thus, title compound II (as its HCl salt) was prepared in 9 steps from N-tert-butoxycarbonyl-D-cyclohexylglycine, 2-bromophenol, Et pyruvate, and N-ethylpiperazine. II and related compds. I showed pEC<sub>50</sub> values between 7.1 and 8.4 at the human cannabinoid CB1 receptor expressed in hamster CHO cells.

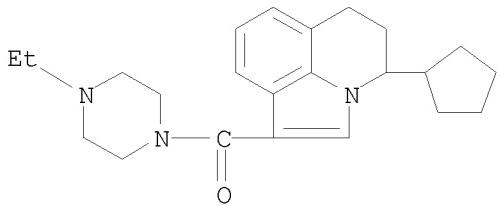
IT 856703-13-4P 856703-14-5P 856703-39-4P

RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of tricyclic (indolylcarbonyl)piperazine derivs. as cannabinoid CB1 receptor agonists)

RN 856703-13-4 CAPLUS

CN Piperazine, 1-[(4-cyclopentyl-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)carbonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

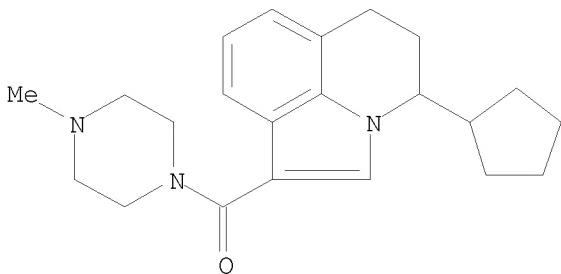
RN 856703-14-5 CAPLUS

CN Piperazine, 1-[(4-cyclopentyl-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-1-

10/583013

yl)carbonyl]-4-methyl-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

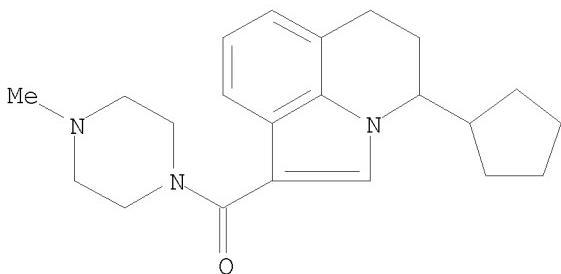


● HCl

RN 856703-39-4 CAPLUS

CN Piperazine, 1-[(4-cyclopentyl-5,6-dihydro-4H-pyrrolo[3,2,1-ij]quinolin-1-yl)carbonyl]-4-methyl-, monohydrochloride, (-)- (9CI) (CA INDEX NAME)

Rotation (-).



● HCl

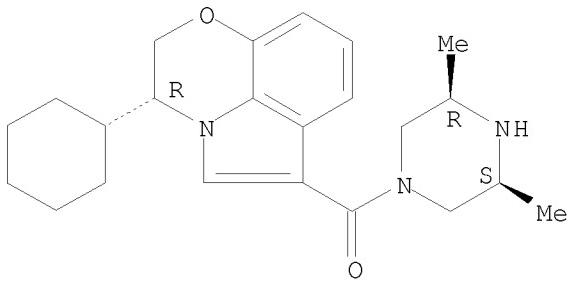
IT 856703-38-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of tricyclic (indolylcarbonyl)piperazine derivs. as cannabinoid CB1 receptor agonists)

RN 856703-38-3 CAPLUS

CN Piperazine, 1-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-3,5-dimethyl-, monohydrochloride, (3R,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

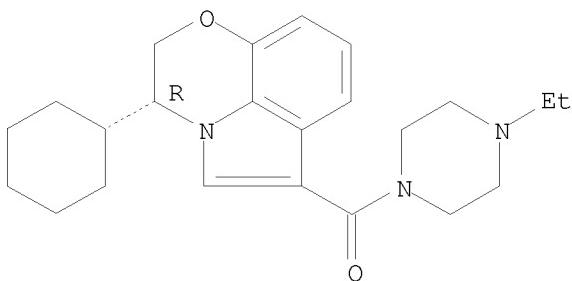
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 856703-12-3P 856703-15-6P 856703-16-7P  
 856703-17-8P 856703-18-9P 856703-37-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of tricyclic (indolylcarbonyl)piperazine derivs. as cannabinoid CB1 receptor agonists)

RN 856703-03-2 CAPLUS

CN Piperazine, 1-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

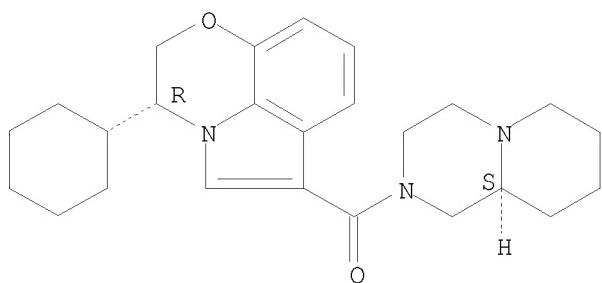


● HCl

RN 856703-04-3 CAPLUS

CN 2H-Pyrido[1,2-a]pyrazine, 2-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]octahydro-, monohydrochloride, (9aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

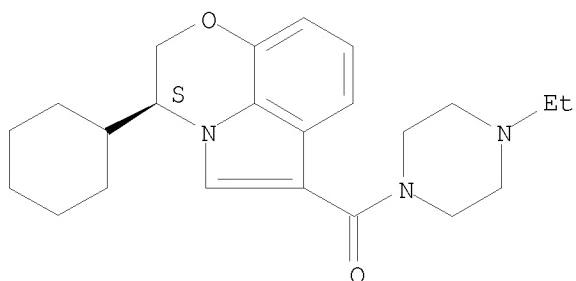


● HCl

RN 856703-05-4 CAPLUS

CN Piperazine, 1-[(3S)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-4-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

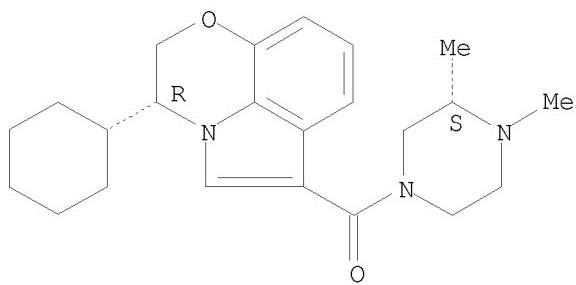


● HCl

RN 856703-06-5 CAPLUS

CN Piperazine, 4-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-1,2-dimethyl-, monohydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

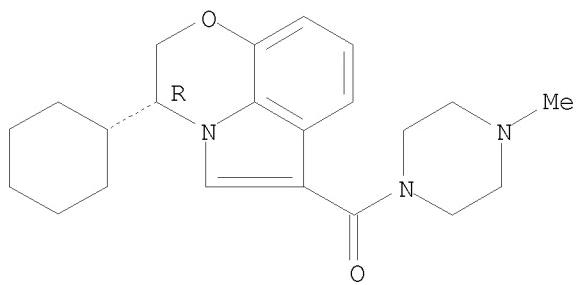


● HCl

RN 856703-07-6 CAPLUS

CN Piperazine, 1-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-4-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

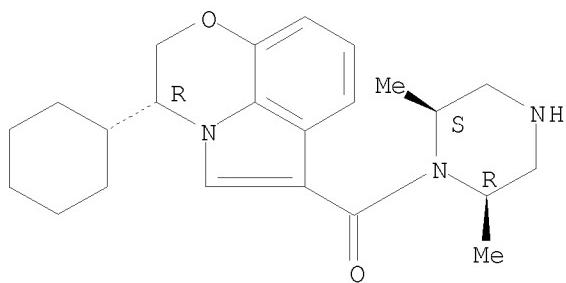


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RN 856703-08-7 CAPLUS

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Absolute stereochemistry. Rotation (-).

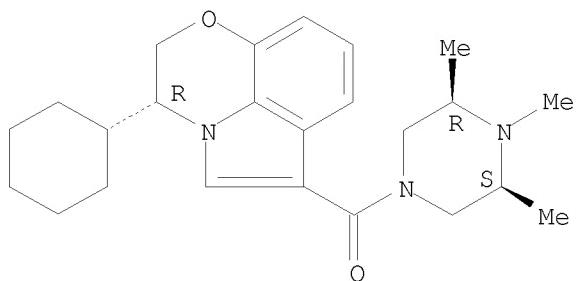


● HCl

RN 856703-09-8 CAPLUS

CN Piperazine, 4-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-1,2,6-trimethyl-, monohydrochloride, (2R,6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

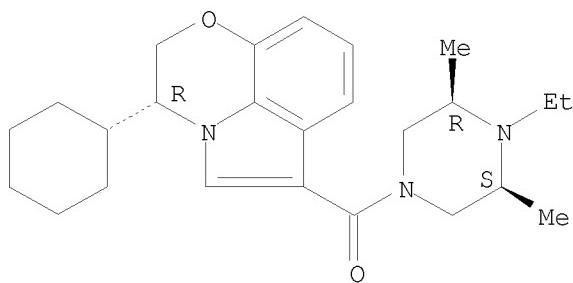


● HCl

RN 856703-10-1 CAPLUS

CN Piperazine, 4-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-1-ethyl-2,6-dimethyl-, monohydrochloride, (2R,6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

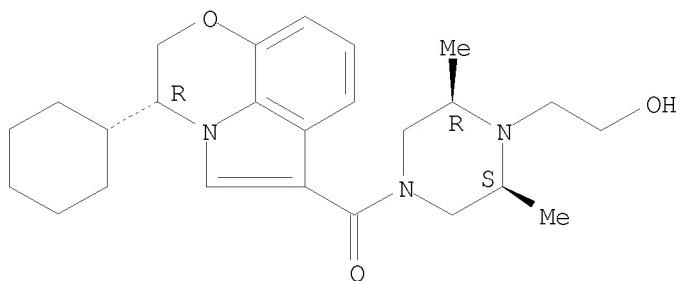


● HCl

RN 856703-11-2 CAPLUS

CN 1-Piperazineethanol, 4-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-2,6-dimethyl-, monohydrochloride, (2R,6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

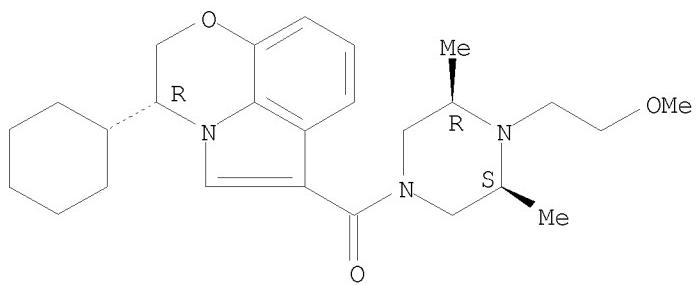


● HCl

RN 856703-12-3 CAPLUS

CN Piperazine, 4-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-1-(2-methoxyethyl)-2,6-dimethyl-, monohydrochloride, (2R,6S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

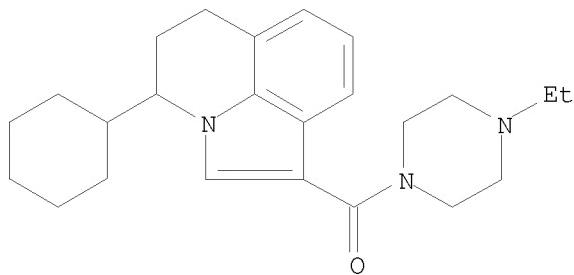


● HCl

RN 856703-15-6 CAPLUS

CN Piperazine, 1-[(4-cyclohexyl-5,6-dihydro-4H-pyrrolo[3,2-1-ij]quinolin-1-yl)carbonyl]-4-ethyl-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

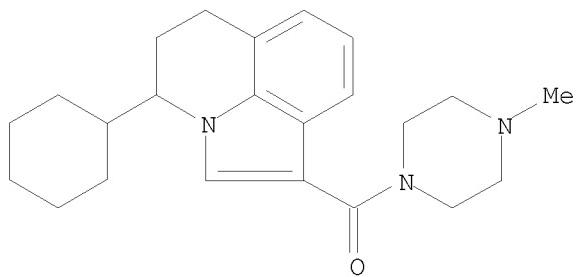


● HCl

RN 856703-16-7 CAPLUS

CN Piperazine, 1-[(4-cyclohexyl-5,6-dihydro-4H-pyrrolo[3,2-1-ij]quinolin-1-yl)carbonyl]-4-methyl-, monohydrochloride, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

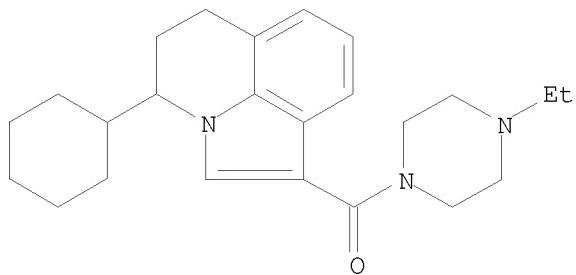


● HCl

RN 856703-17-8 CAPLUS

CN Piperazine, 1-[(4-cyclohexyl-5,6-dihydro-4H-pyrrolo[3,2-1-ij]quinolin-1-yl)carbonyl]-4-ethyl-, monohydrochloride, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

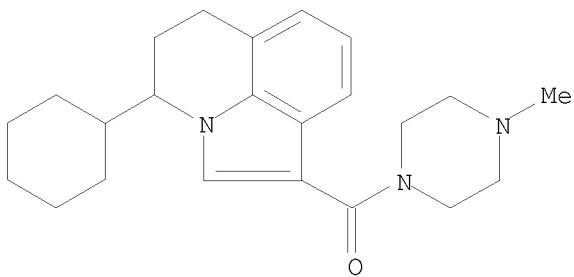


● HCl

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Rotation (-).

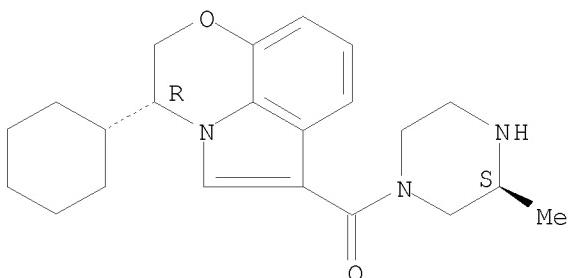


● HCl

RN 856703-37-2 CAPLUS

CN Piperazine, 1-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-3-methyl-, monohydrochloride, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● HCl

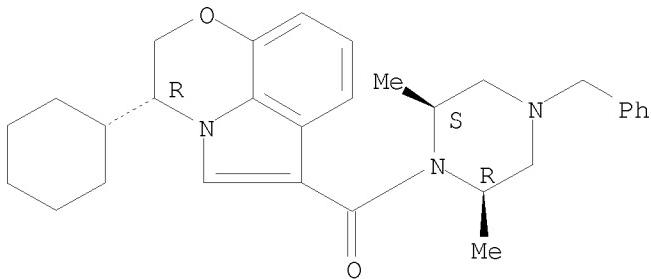
IT 856703-28-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of tricyclic (indolylcarbonyl)piperazine derivs. as cannabinoid CB1 receptor agonists)

RN 856703-28-1 CAPLUS

CN Piperazine, 1-[(3R)-3-cyclohexyl-2,3-dihydropyrrolo[1,2,3-de]-1,4-benzoxazin-6-yl]carbonyl]-2,6-dimethyl-4-(phenylmethyl)-, monohydrochloride, (2R,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
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L17 0 L15

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
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10/583013

FULL ESTIMATED COST	0.46	582.31
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CA SUBSCRIBER PRICE	0.00	-4.80

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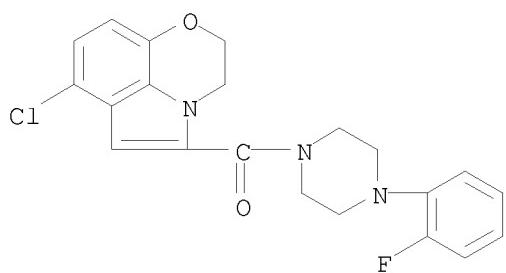
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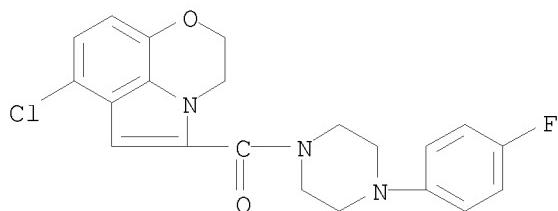
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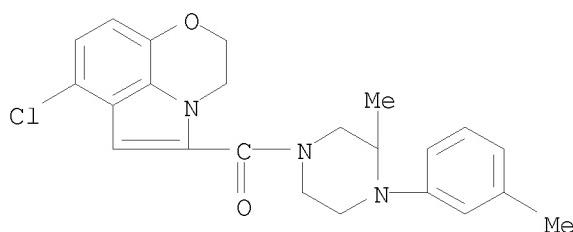
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Order Number        (ON): E762-0959  
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Supplementary Term    (ST): CHEMICAL LIBRARY  
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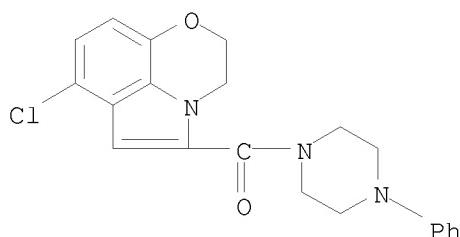
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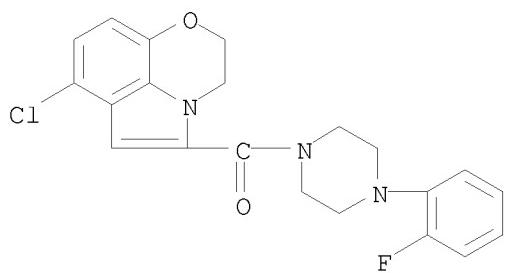
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 Supplementary Term (ST): CHEMICAL LIBRARY  
 Structure :



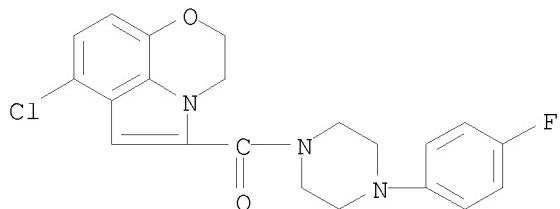
L18 ANSWER 4 OF 8 CHEMCATS COPYRIGHT 2008 ACS on STN  
 Accession No. (AN): 2039153119 CHEMCATS  
 Catalog Name (CO): ChemDiv Discovery Chemistry Collection Public Database  
 Publication Date (PD): 2 Oct 2007  
 Order Number (ON): E762-0925  
 Chemical Name (CN): Chemical name not yet assigned  
 CAS Registry No. (RN): 894190-00-2  
 Supplementary Term (ST): CHEMICAL LIBRARY  
 Structure :



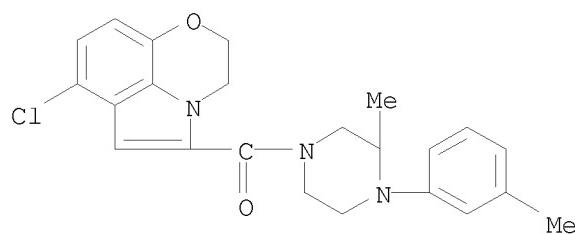
L18 ANSWER 5 OF 8 CHEMCATS COPYRIGHT 2008 ACS on STN  
 Accession No. (AN): 2029550156 CHEMCATS  
 Catalog Name (CO): Aurora Screening Library  
 Publication Date (PD): 6 Sep 2007  
 Order Number (ON): kcd-494756  
 Chemical Name (CN): Chemical name not yet assigned  
 CAS Registry No. (RN): 894190-26-2  
 Supplementary Term (ST): CHEMICAL LIBRARY  
 Structure :



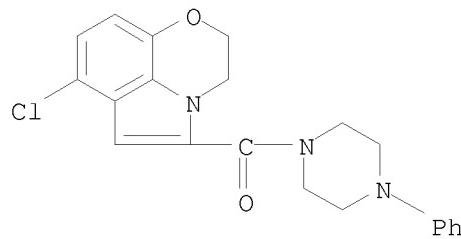
L18 ANSWER 6 OF 8 CHEMCATS COPYRIGHT 2008 ACS on STN  
 Accession No. (AN): 2029550154 CHEMCATS  
 Catalog Name (CO): Aurora Screening Library  
 Publication Date (PD): 6 Sep 2007  
 Order Number (ON): kcd-494755  
 Chemical Name (CN): Chemical name not yet assigned  
 CAS Registry No. (RN): 894190-22-8  
 Supplementary Term (ST): CHEMICAL LIBRARY  
 Structure :



L18 ANSWER 7 OF 8 CHEMCATS COPYRIGHT 2008 ACS on STN  
 Accession No. (AN): 2029550146 CHEMCATS  
 Catalog Name (CO): Aurora Screening Library  
 Publication Date (PD): 6 Sep 2007  
 Order Number (ON): kcd-494751  
 Chemical Name (CN): Chemical name not yet assigned  
 CAS Registry No. (RN): 894190-07-9  
 Supplementary Term (ST): CHEMICAL LIBRARY  
 Structure :



L18 ANSWER 8 OF 8 CHEMCATS COPYRIGHT 2008 ACS on STN  
 Accession No. (AN): 2029550142 CHEMCATS  
 Catalog Name (CO): Aurora Screening Library  
 Publication Date (PD): 6 Sep 2007  
 Order Number (ON): kcd-494749  
 Chemical Name (CN): Chemical name not yet assigned  
 CAS Registry No. (RN): 894190-00-2  
 Supplementary Term (ST): CHEMICAL LIBRARY  
 Structure :



=> log h			
COST IN U.S. DOLLARS	SINCE FILE	TOTAL	
FULL ESTIMATED COST	ENTRY	SESSION	
	17.34	599.65	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL	
CA SUBSCRIBER PRICE	ENTRY	SESSION	
	0.00	-4.80	

SESSION WILL BE HELD FOR 120 MINUTES  
 STN INTERNATIONAL SESSION SUSPENDED AT 13:20:57 ON 07 JAN 2008